

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptasxml624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|------|----|--------|--|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | OCT 02 | CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS | 3 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS | 4 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS | 5 | NOV 19 | WPIX enhanced with XML display format |
| NEWS | 6 | NOV 30 | ICSD reloaded with enhancements |
| NEWS | 7 | DEC 04 | LINPADOCDB now available on STN |
| NEWS | 8 | DEC 14 | BEILSTEIN pricing structure to change |
| NEWS | 9 | DEC 17 | USPATOLD added to additional database clusters |
| NEWS | 10 | DEC 17 | IMSDRUGCONF removed from database clusters and STN |
| NEWS | 11 | DEC 17 | DGENE now includes more than 10 million sequences |
| NEWS | 12 | DEC 17 | TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS | 13 | DEC 17 | MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS | 14 | DEC 17 | CA/CAPplus enhanced with new custom IPC display formats |
| NEWS | 15 | DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS | 16 | JAN 02 | STN pricing information for 2008 now available |
| NEWS | 17 | JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances |
| NEWS | 18 | JAN 28 | USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats |
| NEWS | 19 | JAN 28 | MARPAT searching enhanced |
| NEWS | 20 | JAN 28 | USGENE now provides USPTO sequence data within 3 days of publication |
| NEWS | 21 | JAN 28 | TOXCENTER enhanced with reloaded MEDLINE segment |
| NEWS | 22 | JAN 28 | MEDLINE and LMEDLINE reloaded with enhancements |
| NEWS | 23 | FEB 08 | STN Express, Version 8.3, now available |
| NEWS | 24 | FEB 20 | PCI now available as a replacement to DPCI |
| NEWS | 25 | FEB 25 | IFIREF reloaded with enhancements |
| NEWS | 26 | FEB 25 | IMSPRODUCT reloaded with enhancements |

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

| | |
|------------|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:25:05 ON 26 FEB 2008

=> fil capl

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'CAPLUS' ENTERED AT 12:25:21 ON 26 FEB 2008

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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9

FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.48 | 0.69 |

FILE 'REGISTRY' ENTERED AT 12:25:23 ON 26 FEB 2008

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STRUCTURE FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

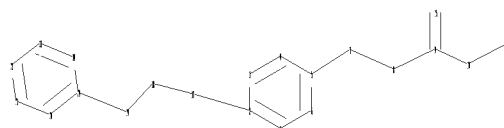
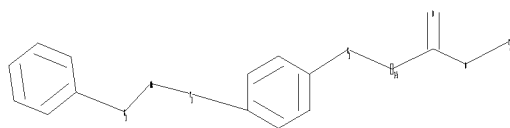
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10566291.str



```
chain nodes :
7 8 9 10 11 15 17 18 19
ring nodes :
1 2 3 4 5 6 23 24 25 26 27 28 29 30 31 32 33 34
chain bonds :
2-17 5-7 7-8 8-9 9-10 9-15 10-11 17-18 18-19 19-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
2-17 5-7 7-8 9-10 9-15 10-11 17-18 18-19 19-29
exact bonds :
8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
isolated ring systems :
containing 1 : 23 :
```

G1:C,O,S

G2:C,H

G3:C,O

Match level :

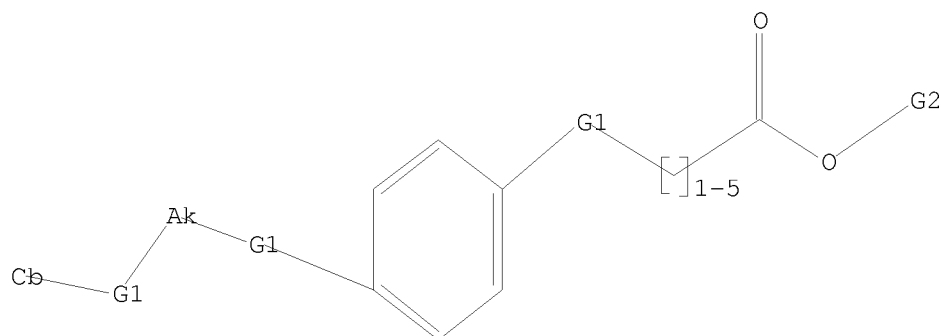
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS 23:Atom 24:Atom 25:Atom
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S

G2 C,H

G3 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 12:25:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 311610 TO ITERATE

0.6% PROCESSED 2000 ITERATIONS 2 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 6199834 TO 6264566

PROJECTED ANSWERS: 5173 TO 7291

L2 2 SEA SSS SAM L1

=> log h

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST 0.46 1.15

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:26:06 ON 26 FEB 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptasxml624

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 12:28:28 ON 26 FEB 2008
FILE 'REGISTRY' ENTERED AT 12:28:28 ON 26 FEB 2008
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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.46 | 1.15 |

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.92 | 1.61 |

FILE 'REGISTRY' ENTERED AT 12:29:11 ON 26 FEB 2008
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STRUCTURE FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0
DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

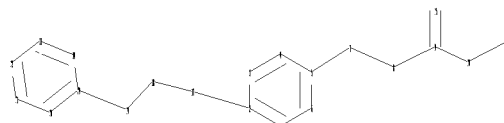
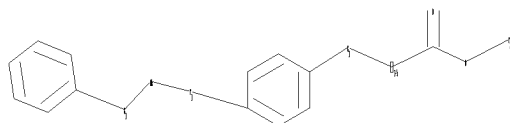
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10566291.str



```

chain nodes :
7 8 9 10 11 15 17 18 19
ring nodes :
1 2 3 4 5 6 23 24 25 26 27 28 29 30 31 32 33 34
chain bonds :
2-17 5-7 7-8 8-9 9-10 9-15 10-11 17-18 18-19 19-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
2-17 5-7 7-8 9-10 9-15 10-11 17-18 18-19 19-29
exact bonds :
8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
isolated ring systems :
containing 1 : 23 :

```

G1:C,O,S

G2:C,H

G3:C,O

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS 23:Atom 24:Atom 25:Atom
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom

```

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sam

SAMPLE SEARCH INITIATED 12:29:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9989 TO ITERATE

20.0% PROCESSED 2000 ITERATIONS 0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 193790 TO 205770

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s l3 full

FULL SEARCH INITIATED 12:29:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 201119 TO ITERATE

100.0% PROCESSED 201119 ITERATIONS

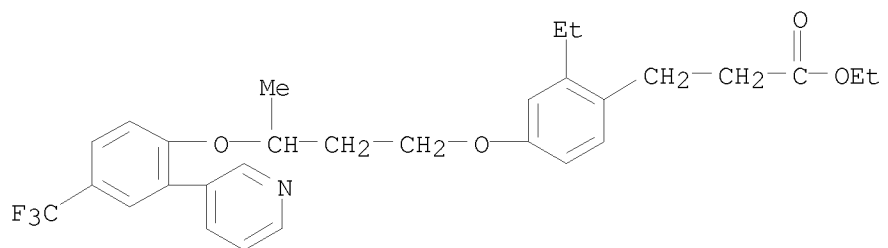
67 ANSWERS

SEARCH TIME: 00.00.03

L5 67 SEA SSS FUL L3

=> d scan

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester
MF C29 H32 F3 N O4

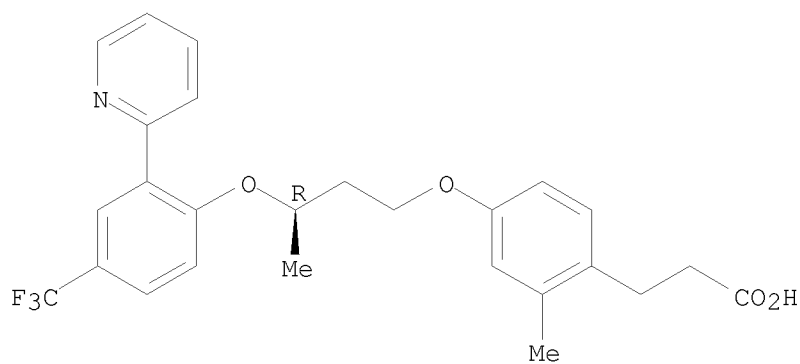


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-
MF C26 H26 F3 N O4

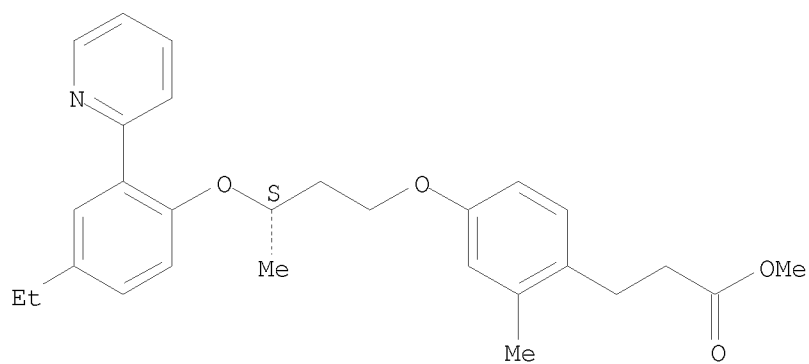
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

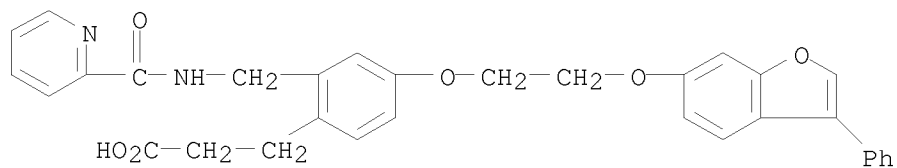
L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-
methyl-, methyl ester
MF C28 H33 N O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[[2-
 pyridinylcarbonyl)amino]methyl]-
 MF C32 H28 N2 O6

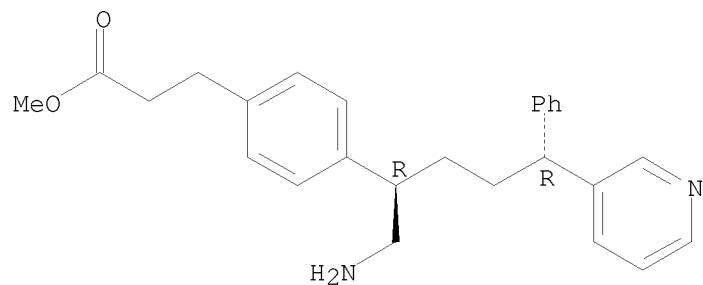


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

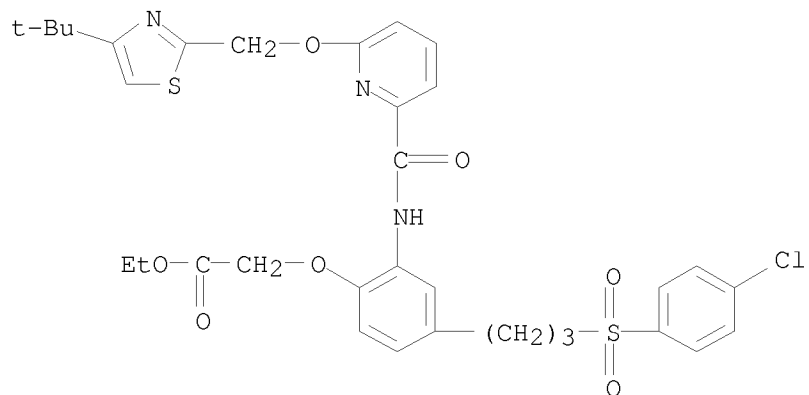
L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,
methyl ester, (R*,R*)- (9CI)
MF C26 H30 N2 O2

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

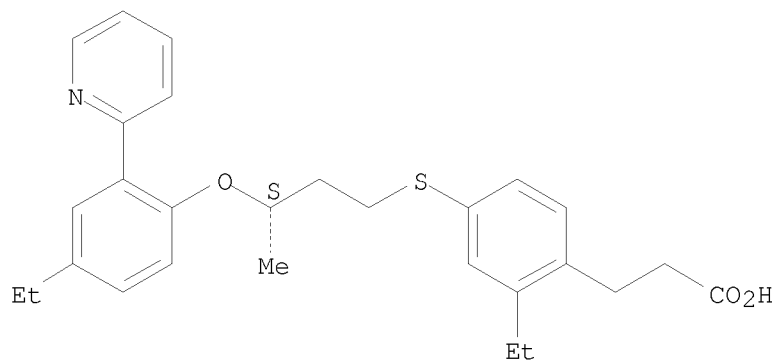
L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI)
 MF C33 H36 Cl N3 O7 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenepropanoic acid, 2-ethyl-4-[[(3S)-3-[4-ethyl-2-(2-
pyridinyl)phenoxy]butyl]thio]-
MF C28 H33 N O3 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

```
=> fil capl
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY      SESSION
FULL ESTIMATED COST          178.82      180.43
```

FILE 'CAPLUS' ENTERED AT 12:30:25 ON 26 FEB 2008
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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9
 FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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```
=> d histr
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n
```

```
=> s 15 not (2008/so or 2007/so or 2006/so or 2005/so)
      14 L5
      95777 2008/SO
      870550 2007/SO
      930316 2006/SO
      882187 2005/SO
L6      13 L5 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)
```

```
=> s 15
L7      14 L5
```

```
=> fil capl
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY      SESSION
FULL ESTIMATED COST          10.88      191.31
```

FILE 'CAPLUS' ENTERED AT 12:33:34 ON 26 FEB 2008
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FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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<http://www.cas.org/infopolicy.html>

=> s 15

L8 14 L5

=> s 18 not (2008/so or 2007/so or 2006/so or 2005/so)

95777 2008/SO

870550 2007/SO

930316 2006/SO

882187 2005/SO

L9 13 L8 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

=> d 19 ibib hitstr abs 1-13

ACCESSION NUMBER: 2007:1470010 CAPLUS

DOCUMENT NUMBER: 148:100384

TITLE: Preparation of 1,3-diphenylpropane derivatives, particularly 2-[4-(3-oxo-3-phenylpropyl)phenoxy]-2-methylpropanoic acids and related derivatives, as PPAR agonists for treating diseases especially dyslipidemia

INVENTOR(S): Delhomel, Jean-Francois; Hanf, Remy; Caumont-Bertrand, Karine

PATENT ASSIGNEE(S): Genfit, Fr.

SOURCE: PCT Int. Appl., 97pp.

CODEN: PIXXD2

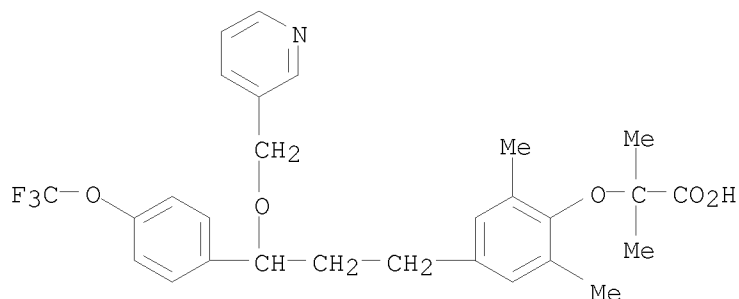
DOCUMENT TYPE: Patent

LANGUAGE: French

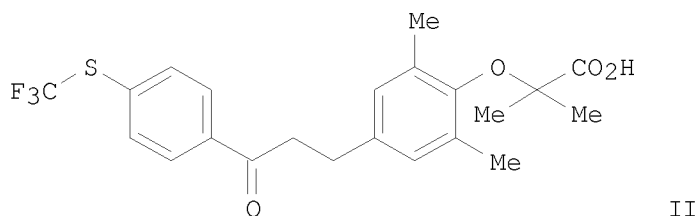
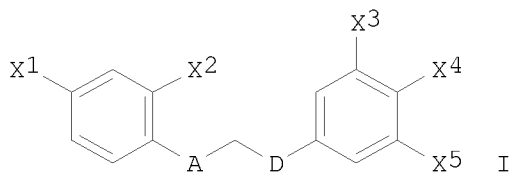
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|---|----------|-----------------|------------|
| WO 2007147880 | A1 | 20071227 | WO 2007-EP56225 | 20070621 |
| <p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> | | | | |
| FR 2902789 | A1 | 20071228 | FR 2006-5540 | 20060621 |
| PRIORITY APPLN. INFO.: | | | FR 2006-5540 | A 20060621 |
| OTHER SOURCE(S): MARPAT 148:100384 | | | | |
| IT 1000336-74-2P, 2-[2,6-Dimethyl-4-[3-[(pyridin-3-yl)methoxy]-3-[4-(trifluoromethoxy)phenyl]propyl]phenoxy]-2-methylpropanoic acid | | | | |
| <p>RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> <p>(drug candidate; preparation of 1,3-diphenylpropane derivs. as PPAR activators for treating diseases especially dyslipidemia)</p> | | | | |
| RN | 1000336-74-2 CAPLUS | | | |
| CN | Propanoic acid, 2-[2,6-dimethyl-4-[3-(3-pyridinylmethoxy)-3-[4-(trifluoromethoxy)phenyl]propyl]phenoxy]-2-methyl- (CA INDEX NAME) | | | |



GI



AB Title compds. I [X1 = halo, R1, G1R1; X2 = halo, R2, G2R2; X3 = R3, G3R3; X4 = halo, R4, G4R4; X5 = R5, G5R5; R1 = haloalkyl; R2 = H, alkyl; R3-R5 = independently H, (un)substituted alkyl; G1-G5 = independently O, S; with at least one of X3-X5 = R3, G3R3, R4, G4R4, R5, G5R5 in which G3-G5 = defined as above and R3-R5 = independently alkyl substituted with 1-2 substituents selected from CO₂H and derivs., CONH₂ and derivs., SO₃H, SO₂NH₂ and derivs.; A = CR₆R₇, CO, C:N-OH, C:N-OR₈; R₆ = H, alkyl, OR₈; R₇ = alkyl, OH, OR₈; R₈ = independently alkyl substituted with an aryl or cycloalkyl group; D = CH₂, CHY; Y = O- or S-heterocycle; and their stereoisomers, racemates, geometrical isomers, tautomers, salts, hydrates, solvates, solid forms and their mixts.] were prepared as PPAR activators, especially agonists, for treating dyslipidemia, diabetes type II and related diseases. Thus, reduction of 2-[2,6-dimethyl-4-[3-[4-(trifluoromethylthio)phenyl]-3-oxoprop-1-enyl]phenoxy]-2-methylpropanoic acid with triethylsilane in DCM in the presence of TFA at room temperature gave the acid II (m.p. = 83-85°). Selected I were hPPAR α , hPPAR γ , and/or hPPAR δ activators in an induced luciferase activity via hPPAR α /Gal4, hPPAR γ /Gal4, and hPPAR δ /Gal4 transactivation assay. I displayed hypolipemic properties by lowering the plasmatic cholesterol and triglycerides rates. I are useful for treating diabetes type II, dyslipidemia, pathologies associated with metabolic syndrome, cardiovascular diseases, etc.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:182607 CAPLUS
 DOCUMENT NUMBER: 142:279949
 TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 603 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2005019151 | A1 | 20050303 | WO 2004-US24381 | 20040817 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2536089 | A1 | 20050303 | CA 2004-2536089 | 20040817 |
| EP 1660428 | A1 | 20060531 | EP 2004-779442 | 20040817 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | |
| JP 2007502815 | T | 20070215 | JP 2006-523861 | 20040817 |
| US 2006257987 | A1 | 20061116 | US 2006-566291 | 20060125 |
| PRIORITY APPLN. INFO.: | | | US 2003-496549P | P 20030820 |
| | | | WO 2004-US24381 | W 20040817 |

OTHER SOURCE(S): MARPAT 142:279949

IT 847345-57-7P, 3-[4-[[[S]-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-60-2P, 3-[4-[[[S]-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-63-5P, 3-[4-[[[S]-3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-65-7P, 3-[4-[[[S]-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847347-31-3P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid 847348-30-5P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847349-20-6P, (R)-3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butoxy]phenyl]propionic acid 847349-23-9P, (R)-3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-26-2P, (R)-3-[2-Methyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-30-8P, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-32-0P, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-37-5P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-4-

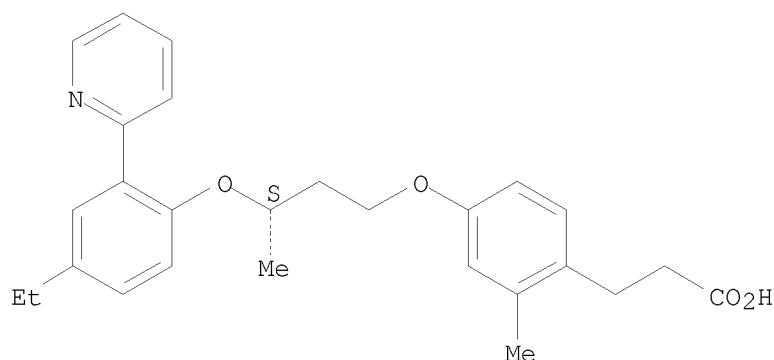
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 (pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs as PPAR
 agonists)

RN 847345-57-7 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-
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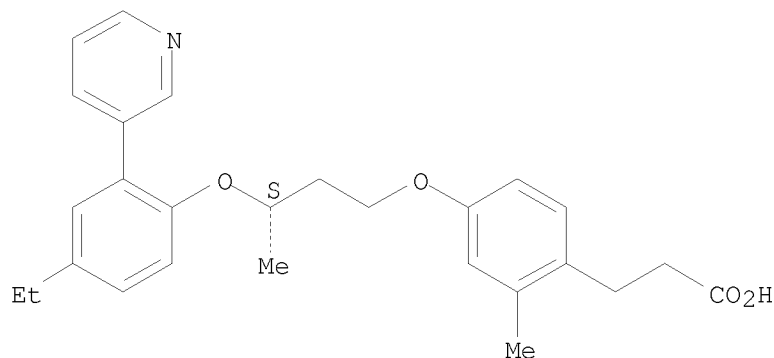
Absolute stereochemistry.



RN 847345-60-2 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-
 methyl- (CA INDEX NAME)

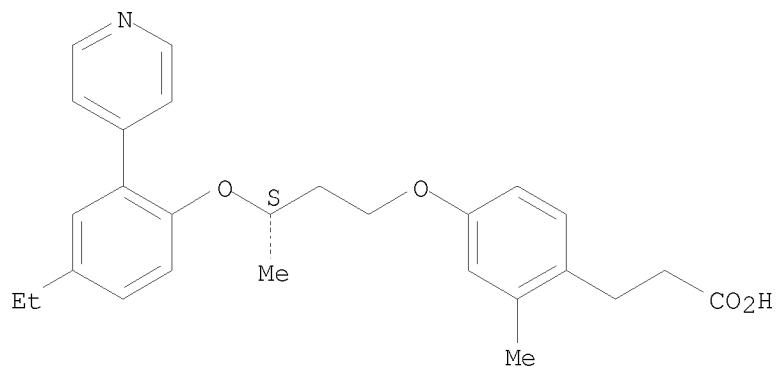
Absolute stereochemistry.



RN 847345-63-5 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

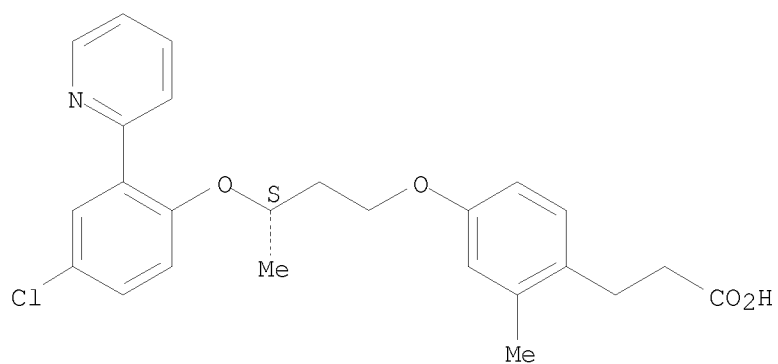
Absolute stereochemistry.



RN 847345-65-7 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

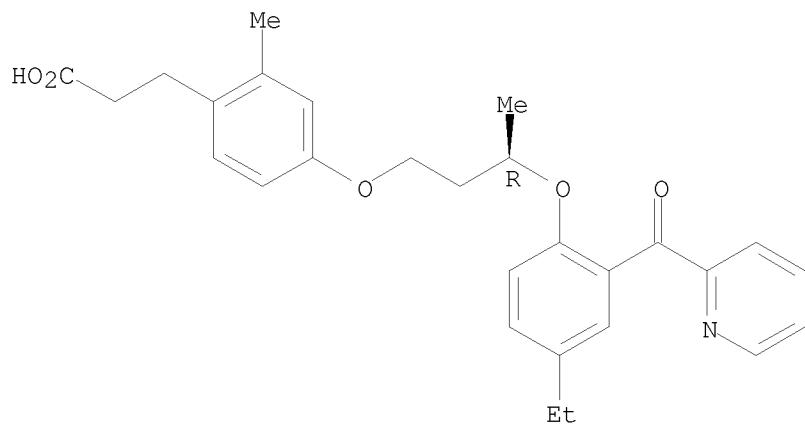
Absolute stereochemistry.



RN 847347-31-3 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

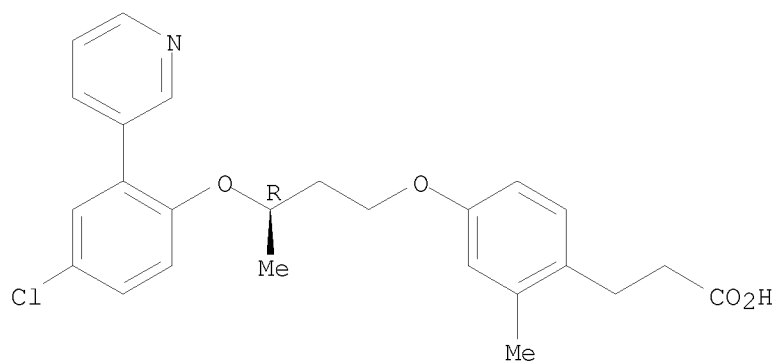
Absolute stereochemistry.



RN 847348-30-5 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

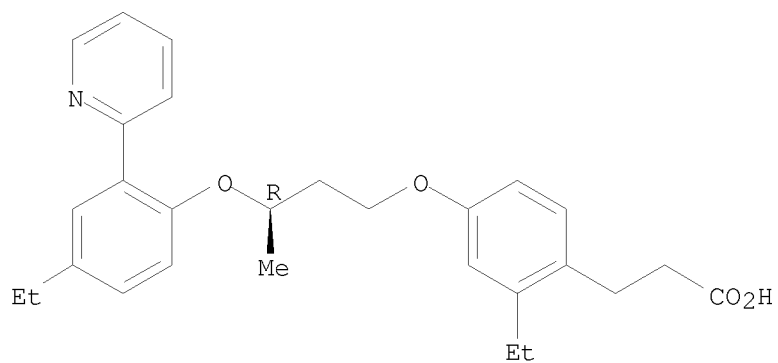
Absolute stereochemistry.



RN 847349-20-6 CAPLUS

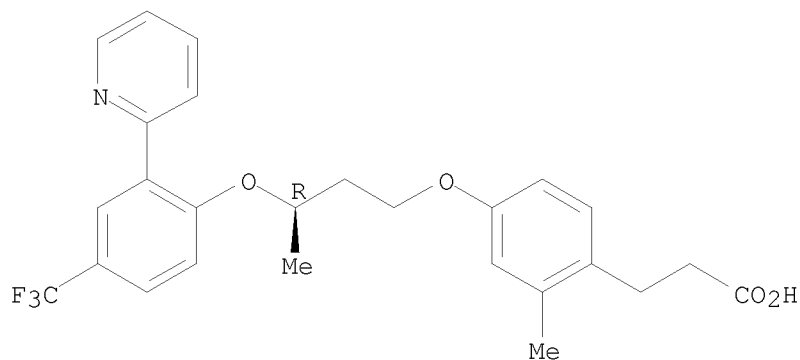
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



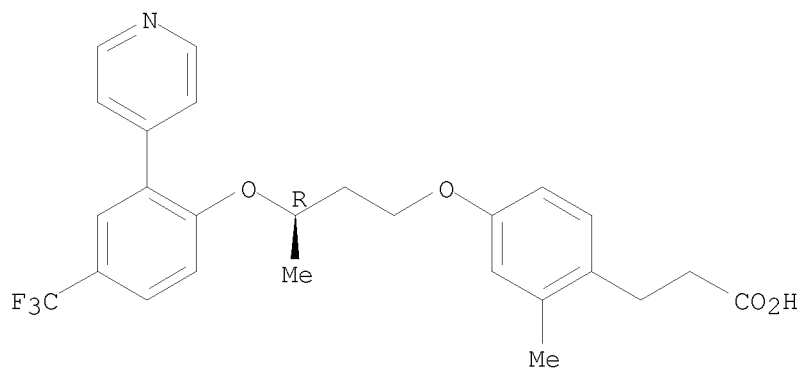
RN 847349-23-9 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



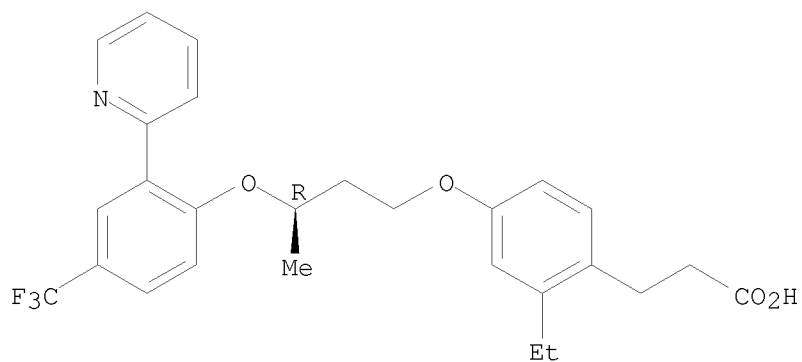
RN 847349-26-2 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847349-30-8 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

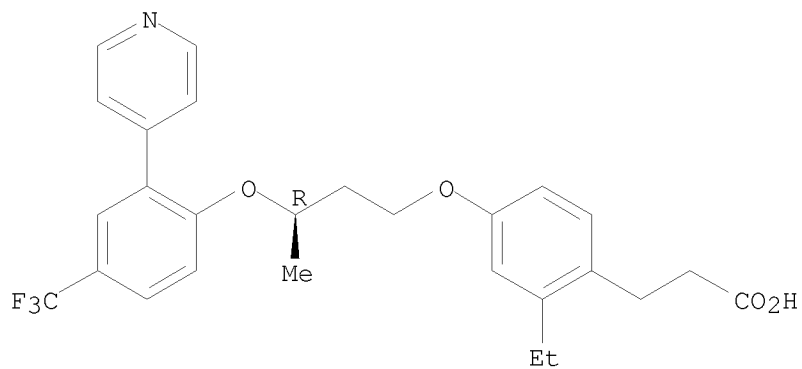
Absolute stereochemistry.



RN 847349-32-0 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

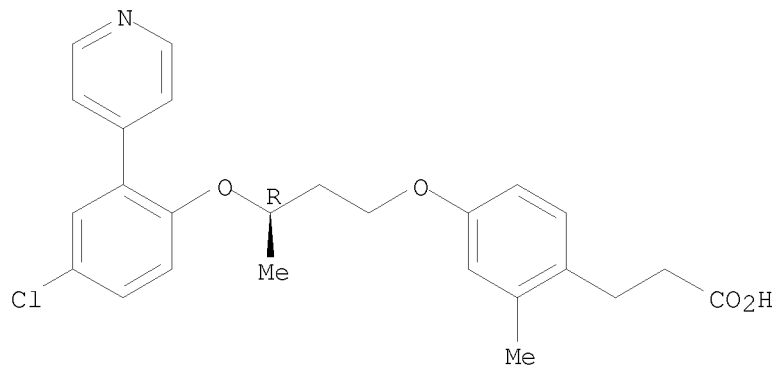
Absolute stereochemistry.



RN 847349-37-5 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

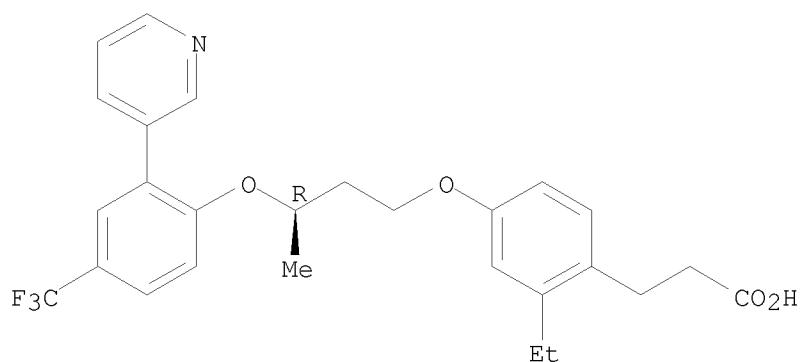
Absolute stereochemistry.



RN 847349-43-3 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

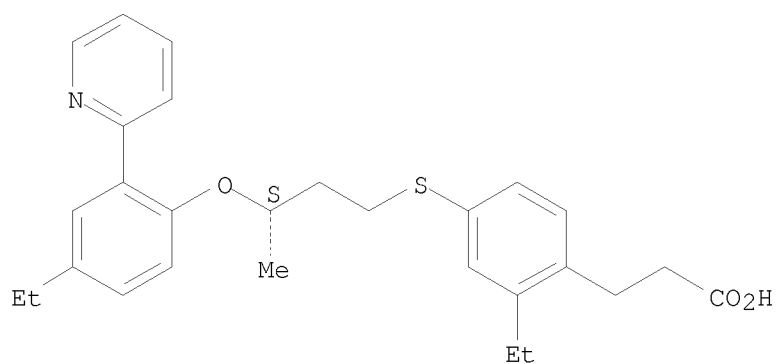
Absolute stereochemistry.



RN 847351-60-4 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butyl]thio]- (CA INDEX NAME)

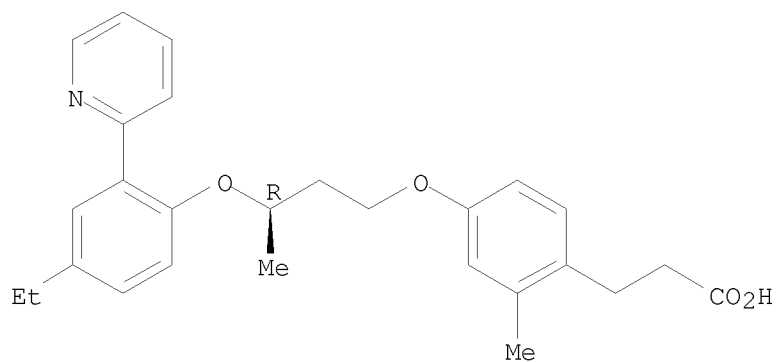
Absolute stereochemistry.



RN 847352-14-1 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

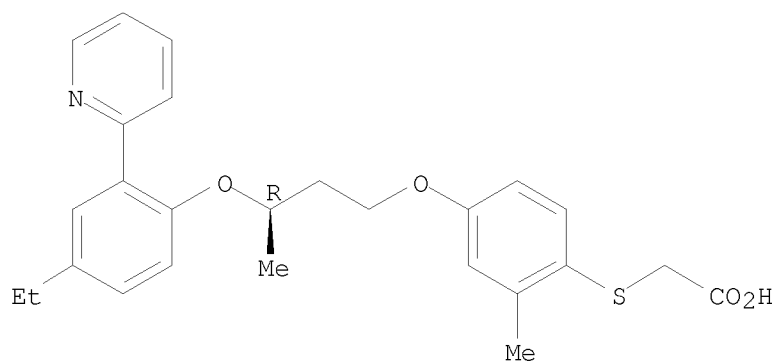
Absolute stereochemistry.



RN 847352-15-2 CAPLUS

CN Acetic acid, [[4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

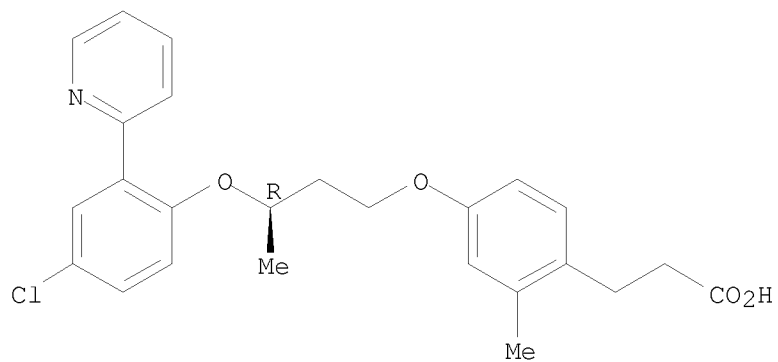
Absolute stereochemistry.



RN 847352-16-3 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

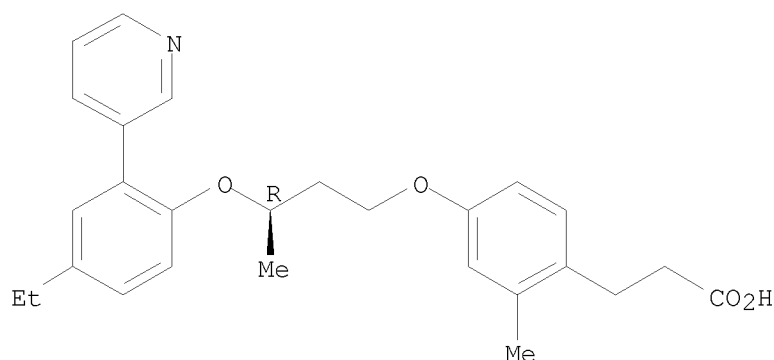
Absolute stereochemistry.



RN 847352-17-4 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

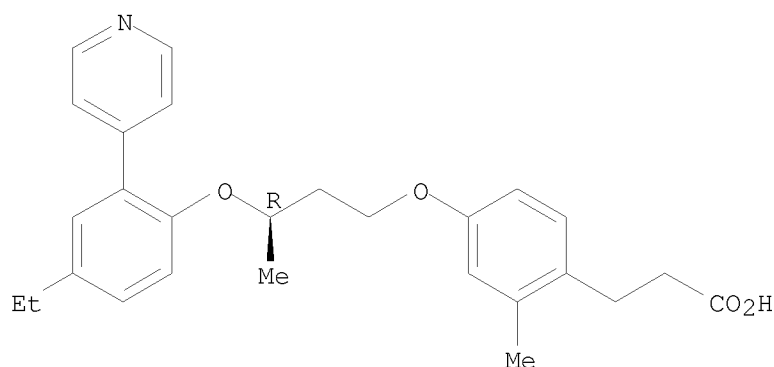
Absolute stereochemistry.



RN 847352-18-5 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



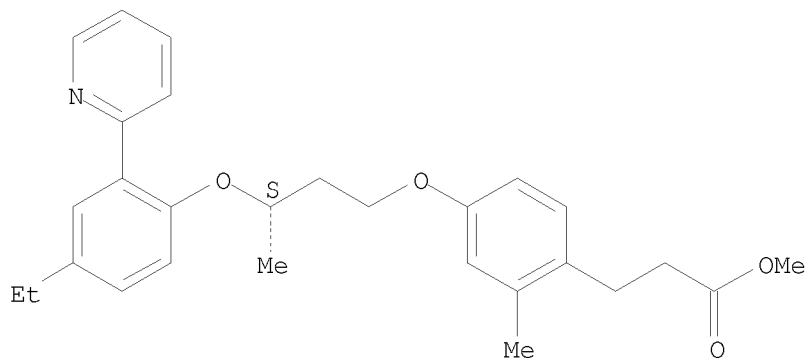
IT 847345-59-9P, 3-[4-[[[(S)-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester
847345-62-4P, 3-[4-[[[(S)-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester
847345-67-9P, 3-[4-[[[(S)-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester
847347-32-4P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester
847349-22-8P, 3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butoxy]phenyl]propionic acid ethyl ester 847349-25-1P
, 3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847345-59-9 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-

methyl-, methyl ester (CA INDEX NAME)

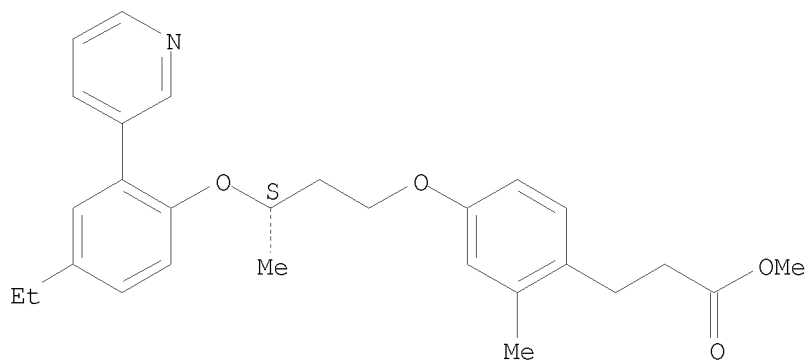
Absolute stereochemistry.



RN 847345-62-4 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

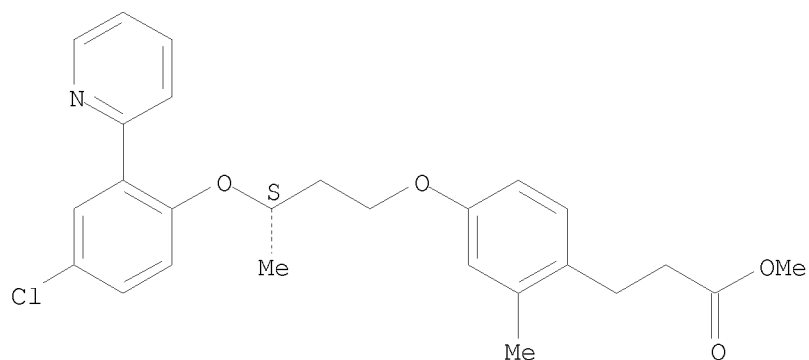
Absolute stereochemistry.



RN 847345-67-9 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

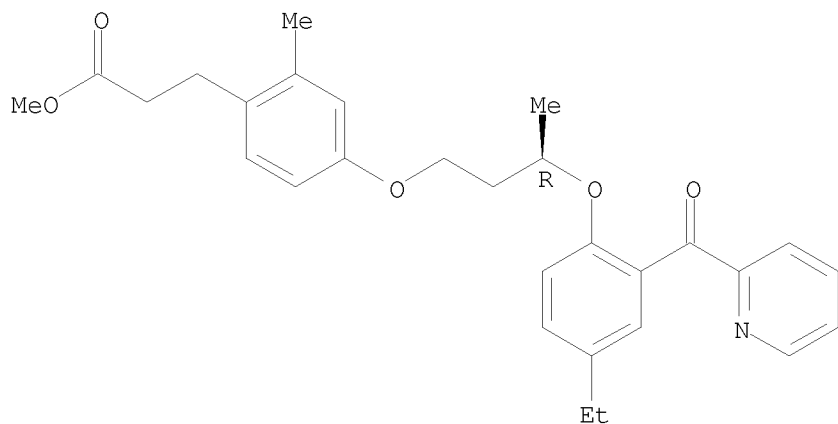
Absolute stereochemistry.



RN 847347-32-4 CAPLUS

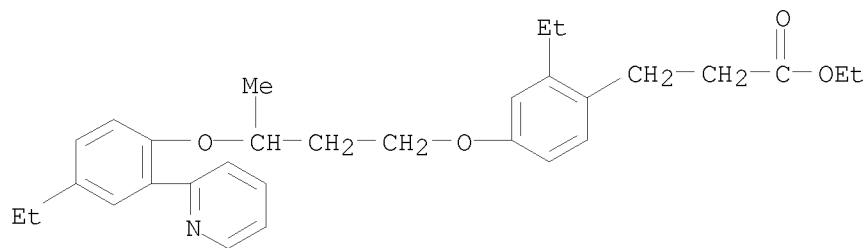
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



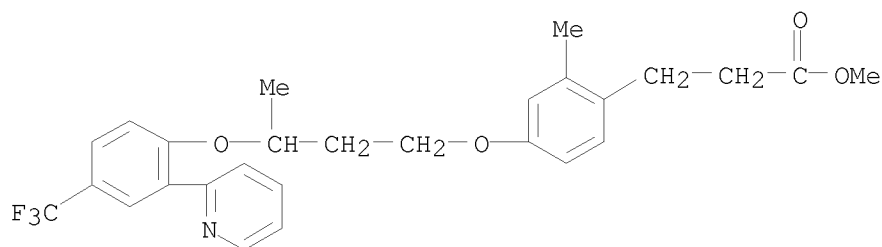
RN 847349-22-8 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

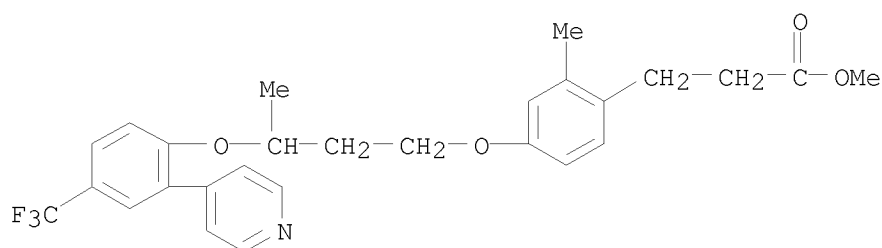


RN 847349-25-1 CAPLUS

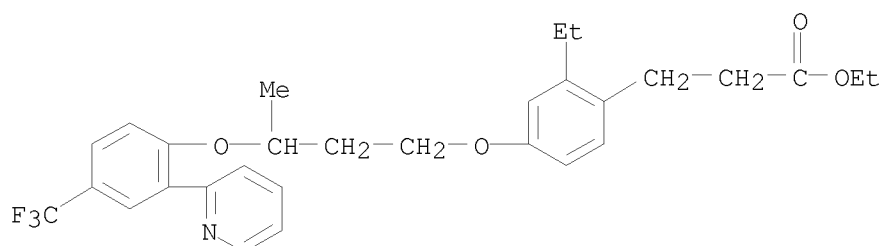
CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)



IT 847349-29-5, 3-[2-Methyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester
 847349-31-9, 3-[2-Ethyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester
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 847349-40-0, 3-[4-[3-[4-Chloro-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester 847349-45-5, 3-[2-Ethyl-4-[3-[[2-(pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)
 RN 847349-29-5 CAPLUS
 CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)

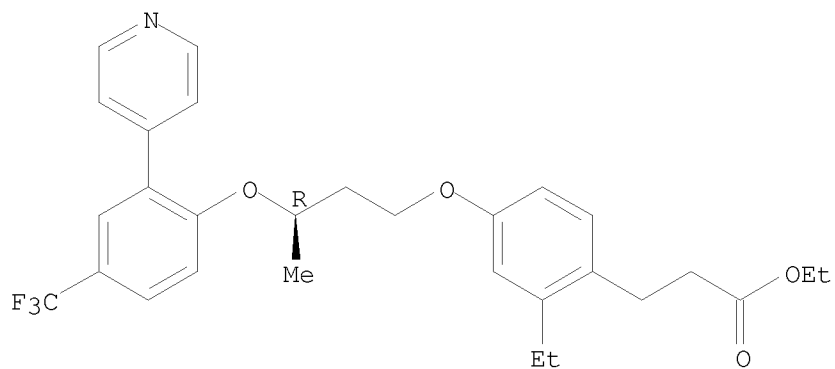


RN 847349-31-9 CAPLUS
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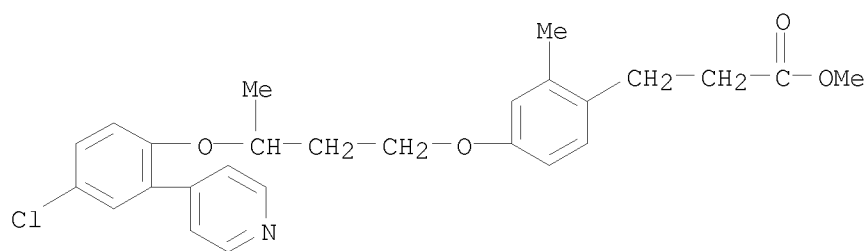
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Absolute stereochemistry.



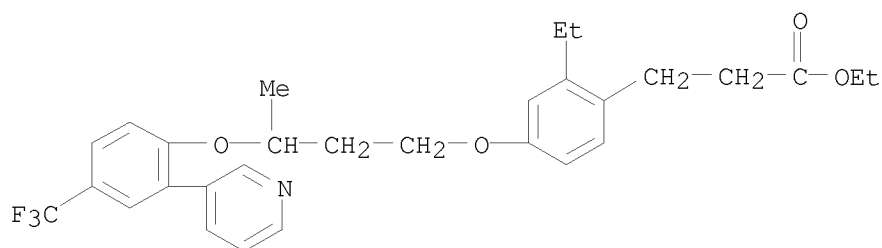
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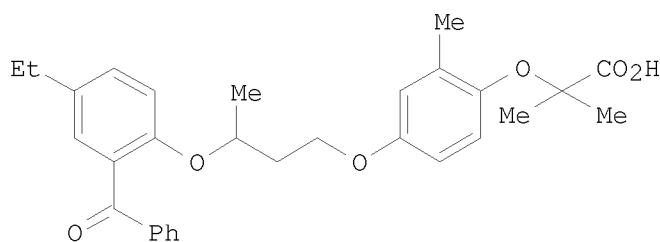
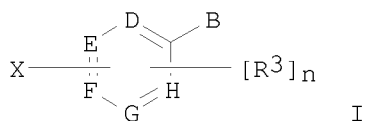


RN 847349-45-5 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)



GI



AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH₂, O, S, and wherein A1 and R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH₂, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO₂H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO₂, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC₅₀ and EC₅₀ in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964313 CAPLUS

DOCUMENT NUMBER: 138:55745

TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafezadeh, Chahrzad; Reifel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferritto Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Ortega Finger, Maria; Ardecky, Robert J.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated

SOURCE: PCT Int. Appl., 458 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| WO 2002100813 | A2 | 20021219 | WO 2002-US16950 | 20020530 |
| WO 2002100813 | A3 | 20031127 | | |
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| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2449256 | A1 | 20021219 | CA 2002-2449256 | 20020530 |
| AU 2002312147 | A1 | 20021223 | AU 2002-312147 | 20020530 |
| EE 200400001 | A | 20040216 | EE 2004-1 | 20020530 |
| EP 1392637 | A2 | 20040303 | EP 2002-739503 | 20020530 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| BR 2002010190 | A | 20040406 | BR 2002-10190 | 20020530 |
| CN 1543451 | A | 20041103 | CN 2002-811530 | 20020530 |
| HU 2004000280 | A2 | 20050128 | HU 2004-280 | 20020530 |
| HU 2004000280 | A3 | 20060130 | | |
| JP 2005509590 | T | 20050414 | JP 2003-503584 | 20020530 |
| NZ 529351 | A | 20060127 | NZ 2002-529351 | 20020530 |
| IN 2003KN01456 | A | 20060414 | IN 2003-KN1456 | 20031110 |
| ZA 2003008863 | A | 20050214 | ZA 2003-8863 | 20031113 |
| US 2005020684 | A1 | 20050127 | US 2003-479262 | 20031201 |
| US 7192982 | B2 | 20070320 | | |
| MX 2003PA11201 | A | 20040226 | MX 2003-PA11201 | 20031204 |
| US 2007276138 | A1 | 20071129 | US 2006-637223 | 20061211 |
| PRIORITY APPLN. INFO.: | | | US 2001-297144P | P 20010607 |
| | | | WO 2002-US16950 | W 20020530 |
| | | | US 2003-479262 | A1 20031201 |

OTHER SOURCE(S): MARPAT 138:55745

IT 477982-80-2P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-3-

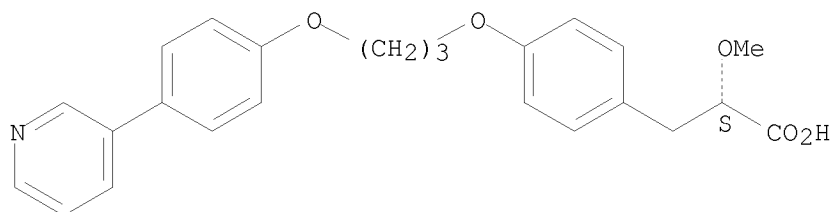
yl)phenoxy]propoxy]phenyl]propionic acid 477982-81-3P,
 (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-4-yl)phenoxy]propoxy]phenyl]propionic
 acid 477984-02-4P, (2S)-2-Methoxy-3-[4-[2-[4-[(pyridine-3-
 carbonyl)amino]phenoxy]ethoxy]phenyl]propionic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(PPAR modulator; preparation of substituted (phenyl)(alkoxy)propanoic acids
 and analogs as PPAR modulators for treatment of diabetes and related
 conditions)

RN 477982-80-2 CAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[3-[4-(3-
 pyridinyl)phenoxy]propoxy]-, (α S)- (CA INDEX NAME)

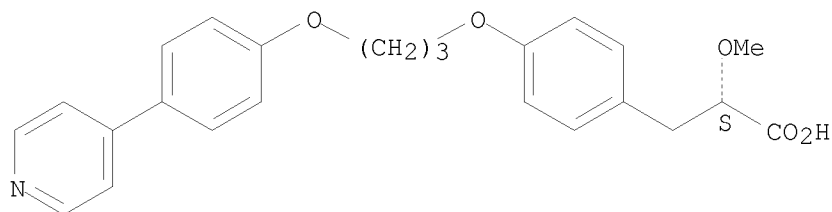
Absolute stereochemistry.



RN 477982-81-3 CAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[3-[4-(4-
 pyridinyl)phenoxy]propoxy]-, (α S)- (CA INDEX NAME)

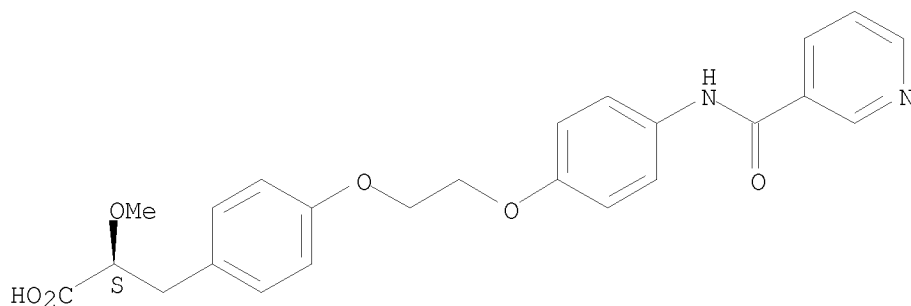
Absolute stereochemistry.



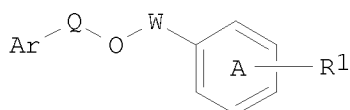
RN 477984-02-4 CAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[4-[(3-
 pyridinylcarbonyl)amino]phenoxy]ethoxy]-, (α S)- (CA INDEX NAME)

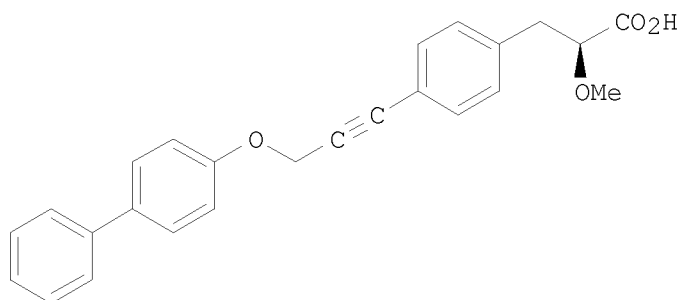
Absolute stereochemistry.



GI



I



II

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH₂, CH₂CH₂, CH₂CH₂CH₂, or CH₂CH₂CH₂CH₂; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR₇, NR₇CO, C(=NOH), S, SO, SO₂, or CHNR₇R₈; ring A is optionally substituted with up to 4 substituents in addition to R₁; R₁ = (CH₂)_nCH(OR₂)(CH₂)_mE, CH=C(OR₂)(CH₂)_mE, (CH₂)_nCHY(CH₂)_mE, or CH=CY(CH₂)_mE; E = CO₂R₃, alkynitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R₂ = H, haloalkyl, COR₄, CO₂R₄, CONR₅R₆, CSR₄, CSOR₄, CSNR₅R₆, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH₂, CH₂CH₂, or CH=CH bonded ortho to R₁ on ring A; R₃-R₈ = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%). Substitution with propargyl alc. in the presence of PdCl₂(PPh₃)₂ and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was

coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPAR γ agonists or PPAR α /PPAR γ co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesteremia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

ACCESSION NUMBER: 2002:964190 CAPLUS
 DOCUMENT NUMBER: 138:39272
 TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
 INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 438 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002100403 | A1 | 20021219 | WO 2002-US15143 | 20020524 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2448552 | A1 | 20021219 | CA 2002-2448552 | 20020524 |
| AU 2002316105 | A1 | 20021223 | AU 2002-316105 | 20020524 |
| NZ 529550 | A | 20031219 | NZ 2002-529550 | 20020524 |
| EP 1401434 | A1 | 20040331 | EP 2002-746380 | 20020524 |
| EP 1401434 | B1 | 20061115 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002010167 | A | 20040406 | BR 2002-10167 | 20020524 |
| HU 2004000268 | A2 | 20040728 | HU 2004-268 | 20020524 |
| JP 2005502600 | T | 20050127 | JP 2003-503224 | 20020524 |
| CN 1578659 | A | 20050209 | CN 2002-815453 | 20020524 |
| AT 345128 | T | 20061215 | AT 2002-746380 | 20020524 |
| ES 2275887 | T3 | 20070616 | ES 2002-746380 | 20020524 |
| US 2005075378 | A1 | 20050407 | US 2003-477405 | 20031112 |
| US 7282501 | B2 | 20071016 | | |
| ZA 2003009059 | A | 20050810 | ZA 2003-9059 | 20031120 |
| MX 2003PA10903 | A | 20040217 | MX 2003-PA10903 | 20031127 |
| IN 2003KN01573 | A | 20060317 | IN 2003-KN1573 | 20031203 |
| PRIORITY APPLN. INFO.: | | | US 2001-296701P | P 20010607 |
| | | | WO 2002-US15143 | W 20020524 |

OTHER SOURCE(S): MARPAT 138:39272

IT 478546-21-3P, 3-[4-[2-(Biphenyl-4-yloxy)ethoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-22-4P, 3-[4-[2-(Biphenyl-3-yloxy)ethoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-23-5P, 3-[4-[2-(4-Phenoxyphenoxy)ethoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-24-6P, 3-[4-[2-(3-Phenylbenzofuran-6-yloxy)ethoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid

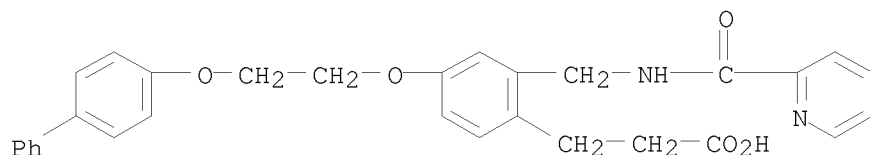
478546-25-7P, 3-[4-[2-(6-Methoxynaphthalen-2-yloxy)ethoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-32-6P, 3-[4-[4-(Biphenyl-3-yloxy)butoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-33-7P, 3-[4-[4-(4-Phenoxyphenoxy)butoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-34-8P, 3-[4-[4-(3-Phenylbenzofuran-6-yloxy)butoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-35-9P, 3-[4-[4-(6-Methoxynaphthalen-2-yloxy)butoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-39-3P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-40-6P, 3-[4-[3-(Biphenyl-3-yloxy)propoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-41-7P, 3-[4-[3-(6-Methoxynaphthalen-2-yloxy)propoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-48-4P, 3-[4-[3-(4-Phenoxyphenoxy)propoxy]-2-[[2-(pyridylcarbonyl)amino]methyl]phenyl]propionic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

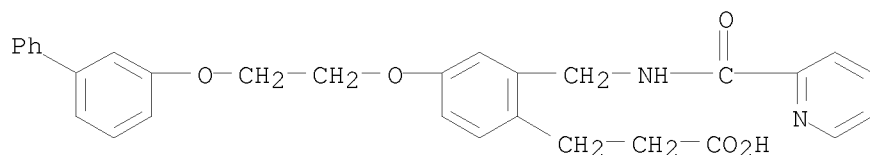
RN 478546-21-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-4-yloxy)ethoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



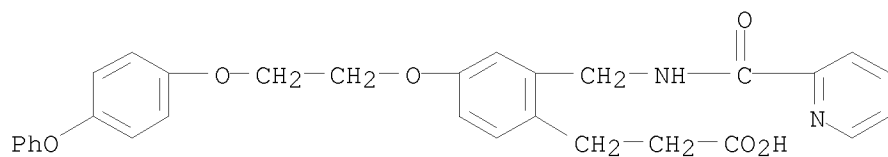
RN 478546-22-4 CAPLUS

CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-3-yloxy)ethoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



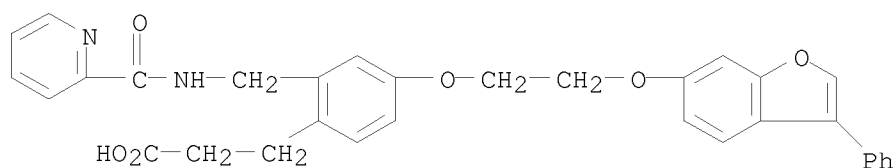
RN 478546-23-5 CAPLUS

CN Benzenepropanoic acid, 4-[2-(4-phenoxyphenoxy)ethoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



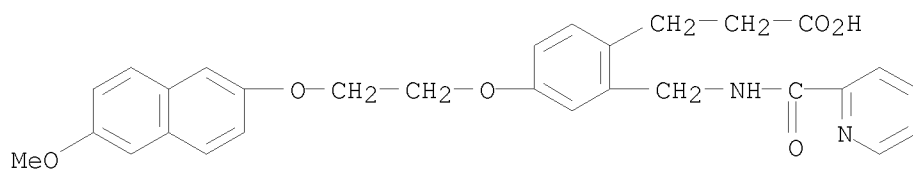
RN 478546-24-6 CAPLUS

CN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



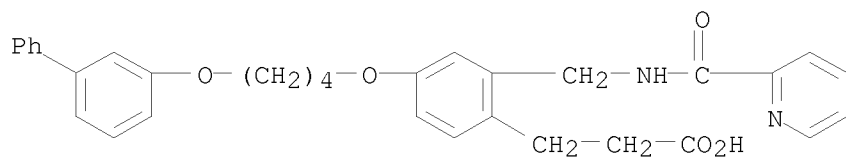
RN 478546-25-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[(6-methoxy-2-naphthalenyl)oxy]ethoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



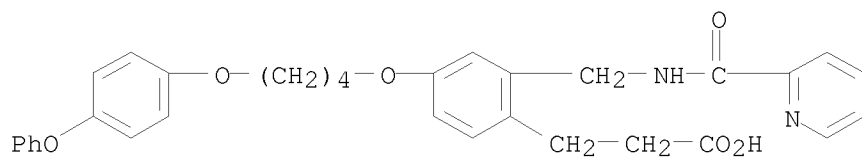
RN 478546-32-6 CAPLUS

CN Benzenepropanoic acid, 4-[4-([1,1'-biphenyl]-3-yloxy)butoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



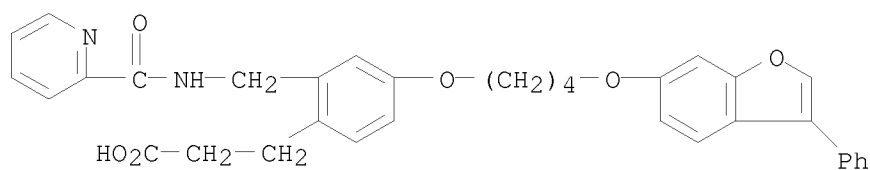
RN 478546-33-7 CAPLUS

CN Benzenepropanoic acid, 4-[4-(4-phenoxyphenoxy)butoxy]-2-[[2-(pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



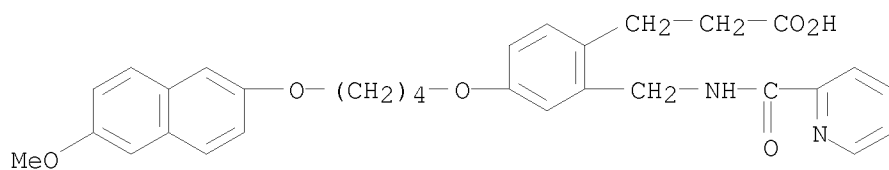
RN 478546-34-8 CAPLUS

CN Benzenepropanoic acid, 4-[4-[(3-phenyl-6-benzofuranyl)oxy]butoxy]-2-[[2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



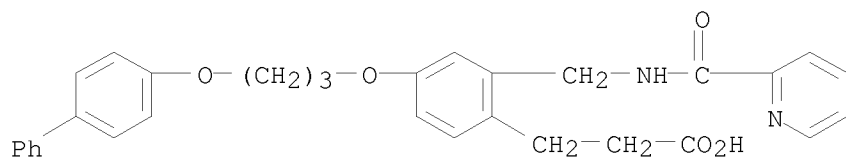
RN 478546-35-9 CAPLUS

CN Benzenepropanoic acid, 4-[4-[(6-methoxy-2-naphthalenyl)oxy]butoxy]-2-[[2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



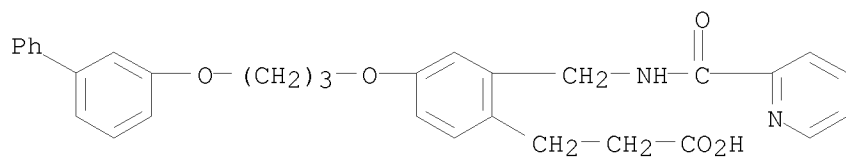
RN 478546-39-3 CAPLUS

CN Benzenepropanoic acid, 4-[3-([1,1'-biphenyl]-4-yloxy)propoxy]-2-[[2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



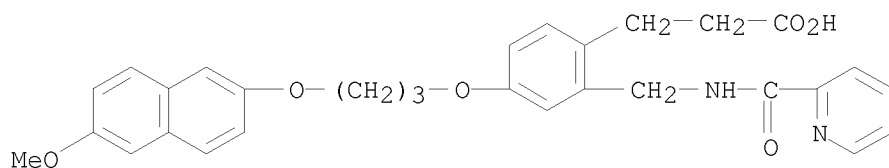
RN 478546-40-6 CAPLUS

CN Benzenepropanoic acid, 4-[3-([1,1'-biphenyl]-3-yloxy)propoxy]-2-[[2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



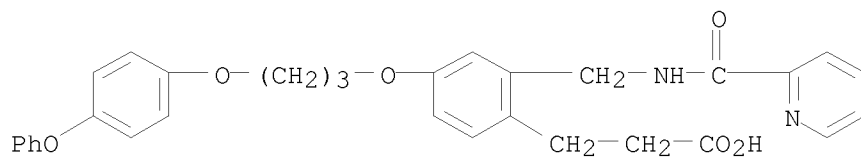
RN 478546-41-7 CAPLUS

CN Benzenepropanoic acid, 4-[3-[(6-methoxy-2-naphthalenyl)oxy]propoxy]-2-[[2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

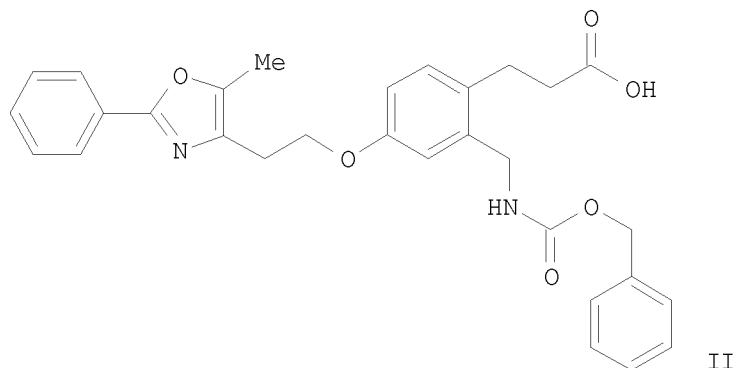
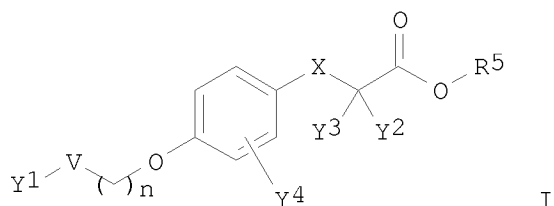


RN 478546-48-4 CAPLUS

CN Benzenepropanoic acid, 4-[3-(4-phenoxyphenoxy)propoxy]-2-[[2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



GI



AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH₂ or O; p = 0 or 1; m = 1-4; Y₁ = (un)substituted (hetero)aryl; Y₂ and Y₃ = independently H, alkyl, or alkoxy; Y₄ = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R₅ = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs₂CO₃ in DMF. Deprotection of the amine using NaBH₄ in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

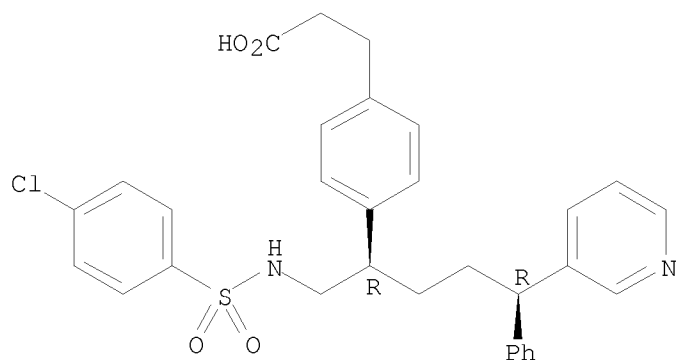
ACCESSION NUMBER: 1997:2234 CAPLUS
DOCUMENT NUMBER: 126:31271
TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals
INVENTOR(S): Tatsugami, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 08245590 | A | 19960924 | JP 1995-49789 | 19950309 |

PRIORITY APPLN. INFO.: JP 1995-49789 19950309
OTHER SOURCE(S): MARPAT 126:31271

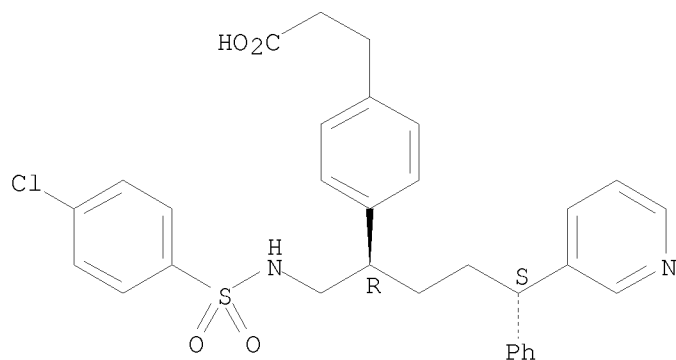
IT 184419-32-7P 184653-31-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)
RN 184419-32-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 184653-31-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



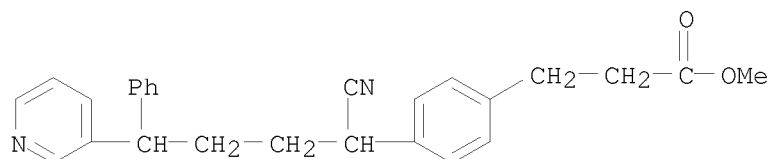
IT 184419-61-2P 184419-62-3P 184419-63-4P
184653-33-6P 184653-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)

RN 184419-61-2 CAPLUS

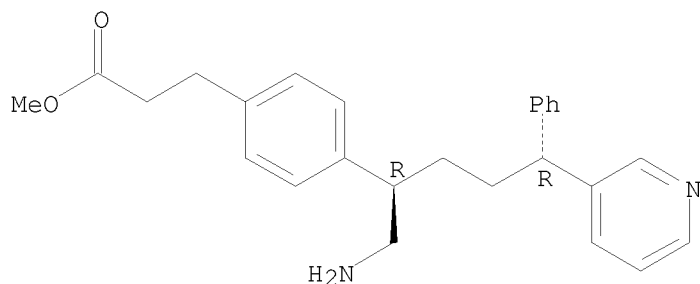
CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS

CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

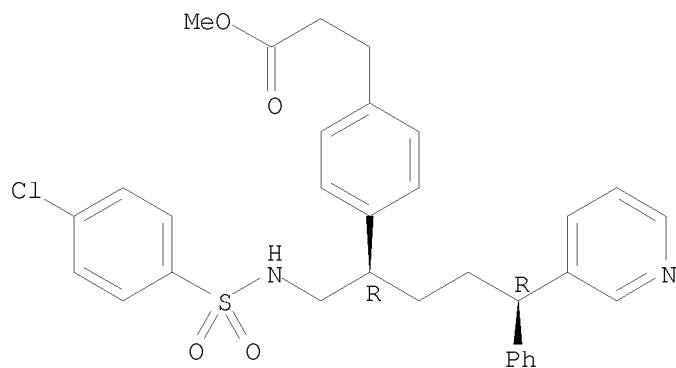
Relative stereochemistry.



RN 184419-63-4 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

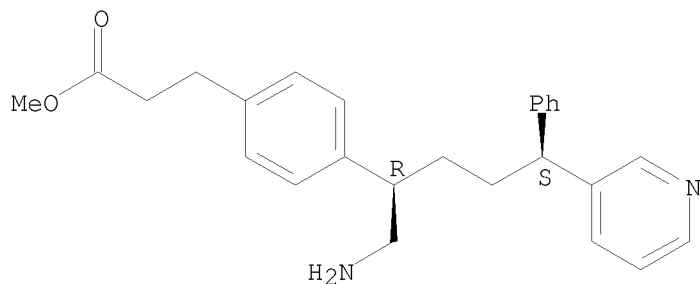
Relative stereochemistry.



RN 184653-33-6 CAPLUS

CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

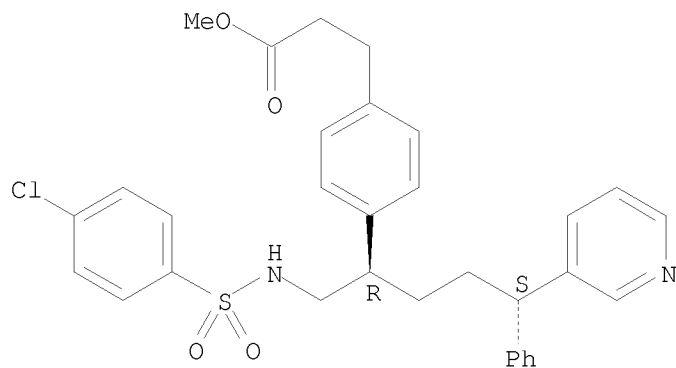
Relative stereochemistry.



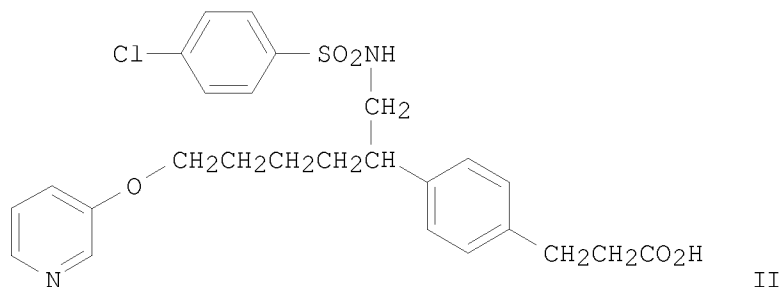
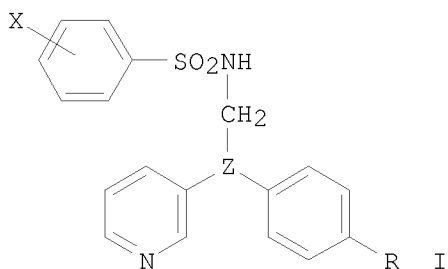
RN 184653-34-7 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



GI



AB The title compds. I [X = H, halo, etc.; Z = O(CH₂)_mCH, etc.; R = (CH₂)_nCO₂R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in vitro showed IC₅₀ of 0.039 x 10⁻⁶ M against U-46619-induced platelet aggregation.

L9 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:509478 CAPLUS
DOCUMENT NUMBER: 125:167791
TITLE: Preparation of pyridylalkylphenylsulfone derivatives
as antithrombotic agents and antiallergic agents
INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue;
Kasukawa, Hiroaki
PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 9619454 | A1 | 19960627 | WO 1995-JP2590 | 19951218 |
| W: AU, CA, CN, JP, KR, RU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9641892 | A | 19960710 | AU 1996-41892 | 19951218 |
| PRIORITY APPLN. INFO.: | | | JP 1994-316279 | A 19941220 |
| | | | WO 1995-JP2590 | W 19951218 |

OTHER SOURCE(S): MARPAT 125:167791

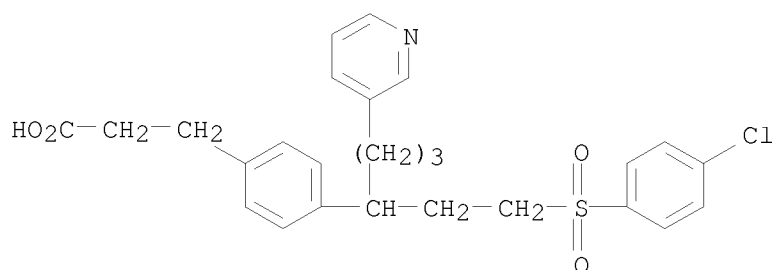
IT 180153-37-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-37-1 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



IT 180153-38-2P 180153-39-3P 180153-40-6P

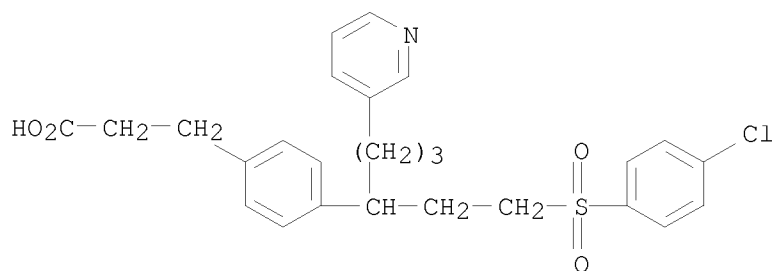
180153-41-7P 180153-42-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

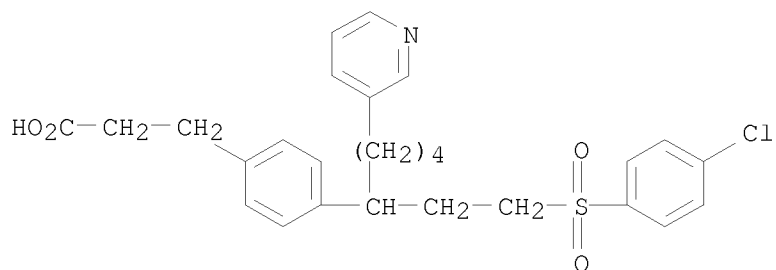
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-38-2 CAPLUS

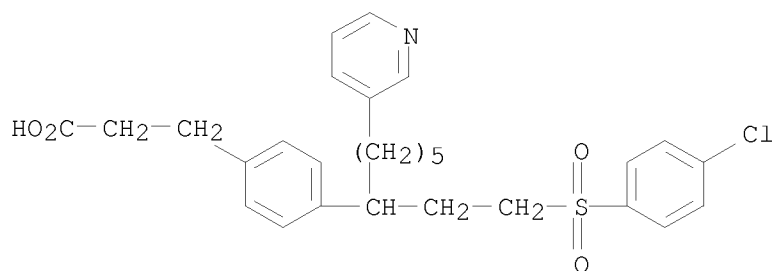
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)



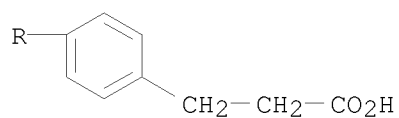
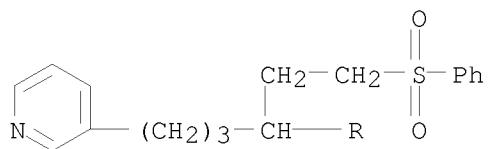
RN 180153-39-3 CAPLUS
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)



RN 180153-40-6 CAPLUS
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)

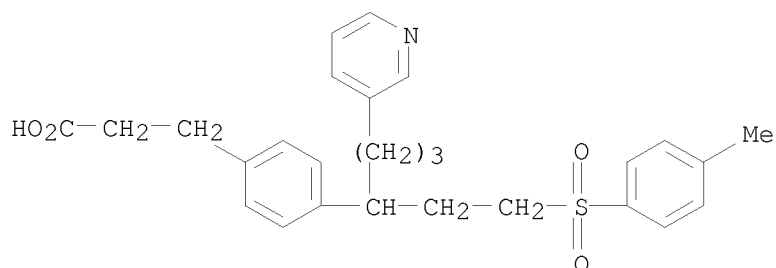


RN 180153-41-7 CAPLUS
 CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



RN 180153-42-8 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



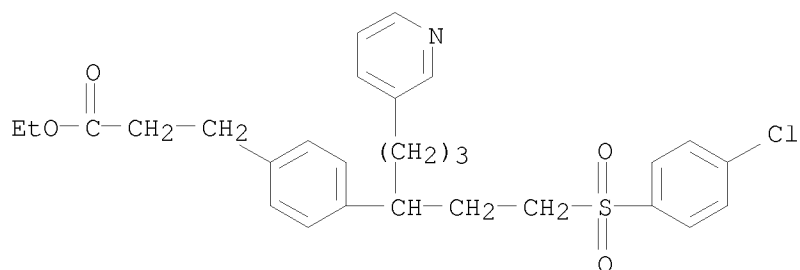
IT 180153-36-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

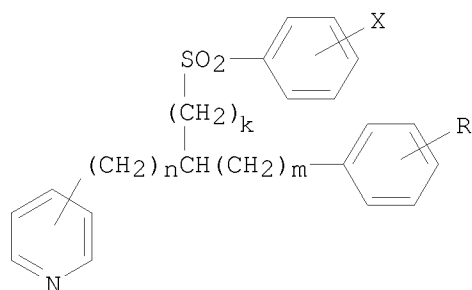
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-36-0 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)



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AB The title compds. I [X = H, OH, NO₂, CN, CF₃, halo, lower alkyl, lower alkoxy; R = O(CH₂)_aCO₂R₁, (CH₂)_aCO₂R₁, CR₂:CR₃CO₂R₁ or CR₂R₃CR₄R₅CO₂R₁ (R₁, R₂, R₃, R₄, R₅ = H, lower alkyl; a = 0-5); h, m, n = 0-5] are prepared. A medicinal preparation containing I is also claimed. I possessing thromboxane A₂ and prostaglandin H₂ antagonisms and the effect of inhibiting the synthesis of thromboxane A₂, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH₂)₂CO₂H; h = 2; m = 0; n = 3] was prepared from p-HCOC₆H₄CH(OEt)₂ in twelve steps and demonstrated a IC₅₀ against thromboxane A₂ of 0.25 μM.

L9 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:457766 CAPLUS
DOCUMENT NUMBER: 125:114597
TITLE: Preparation of azole derivatives as leukotriene and thromboxane A2 antagonists
INVENTOR(S): Nagaoka, Hitoshi; Yokota, Masaki; Akane, Hiroaki; Arakida, Yasuhito; Isomura, Yasuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 9611916 | A1 | 19960425 | WO 1995-JP2085 | 19951012 |
| W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2202623 | A1 | 19960425 | CA 1995-2202623 | 19951012 |
| AU 9536730 | A | 19960506 | AU 1995-36730 | 19951012 |
| AU 699476 | B2 | 19981203 | | |
| EP 786457 | A1 | 19970730 | EP 1995-934280 | 19951012 |
| EP 786457 | B1 | 20020529 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| CN 1160397 | A | 19970924 | CN 1995-195649 | 19951012 |
| CN 1107059 | B | 20030430 | | |
| HU 77609 | A2 | 19980629 | HU 1997-2271 | 19951012 |
| TW 381088 | B | 20000201 | TW 1995-84110701 | 19951012 |
| JP 3061862 | B2 | 20000710 | JP 1996-513092 | 19951012 |
| RU 2161612 | C2 | 20010110 | RU 1997-107457 | 19951012 |
| AT 218132 | T | 20020615 | AT 1995-934280 | 19951012 |
| FI 9701510 | A | 19970411 | FI 1997-1510 | 19970411 |
| NO 9701685 | A | 19970613 | NO 1997-1685 | 19970411 |
| NO 309268 | B1 | 20010108 | | |
| US 5981559 | A | 19991109 | US 1997-809466 | 19970815 |
| PRIORITY APPLN. INFO.: | | | JP 1994-249488 | A 19941014 |
| | | | JP 1994-251121 | A 19941018 |
| | | | WO 1995-JP2085 | W 19951012 |

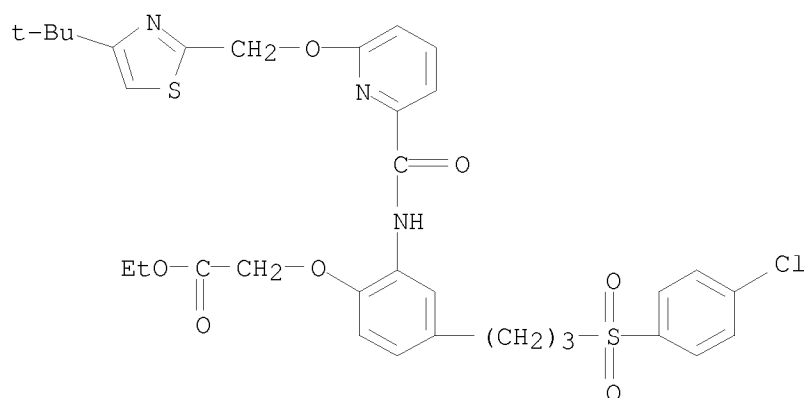
OTHER SOURCE(S): MARPAT 125:114597

IT 179103-10-7P 179103-23-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole derivs. as leukotriene and thromboxane A2 antagonists for disease therapy)

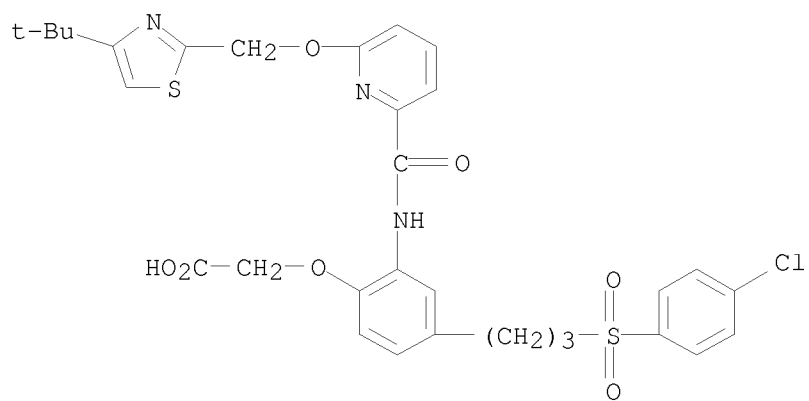
RN 179103-10-7 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

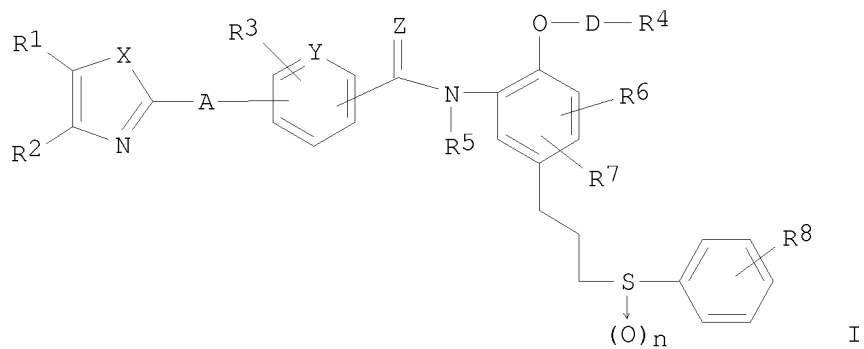


RN 179103-23-2 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-(9CI) (CA INDEX NAME)



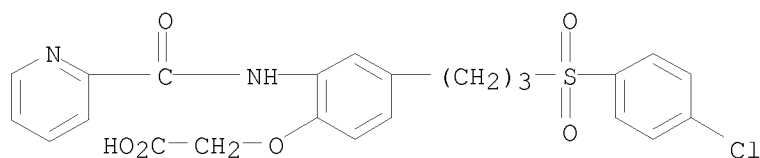
GI



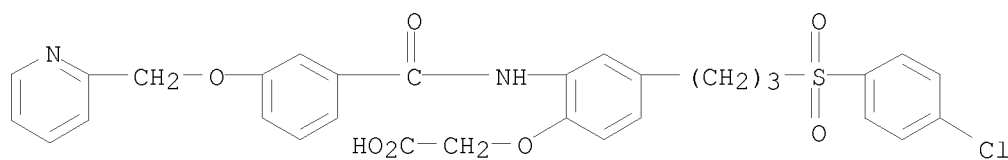
AB Thiazole- or oxazole-containing benzanilide derivs. represented by general formula [I; R1, R2 = H, cycloalkyl, (un)substituted lower alkyl, (un)substituted aryl; or R1R2 = CH:CHCH:CH or (CH2)4 to complete a condensed ring which may be substituted by optionally substituted lower alkyl, amino, etc.; R3, R6, R7, R8 = H, amino, cyano, NO2, OH, halo, lower alkoxy, (un)substituted lower alkyl; R4 = cyano, tetrazolyl, CO2H or its ester, E-NH-F-R10; wherein E = single bond, CO; F = single bond, lower alkylene; R10 = H, CONH2, mono- or dialkylcarbamoyl, CO2H, lower alkoxy, optionally alkyl-substituted arylcarbonyl, lower alkanoyl, lower alkylsulfonyl, optionally alkyl-substituted arylsulfonyl; R5 = H or lower alkyl; D = optionally substituted lower alkylene; X, Z = O, S; Y = N, CH; A O-B, B-O, S-B, B-S or B (wherein B = lower alkylene or lower alkenylene); n = 0, 1 or 2] or pharmaceutically acceptable salts thereof, are prepared. These compds. I have both of a leukotriene antagonistic effect and a thromboxane A2 antagonistic effect and are useful in preventing or treating allergic diseases (in particular, bronchial asthma, allergic rhinitis, or nettle rash), ischemic heart diseases, or ischemic brain diseases. Thus, a thiazole containing benzanilide derivative (II; R = H, R1 = Ph, A = CH:CH) (preparation given) was dissolved in DMF, treated successively with K2CO3, Bu4NBr, and Et bromoacetate, and stirred at room temperature for 12 h to give the title compound II (R = CH2CO2Et, R1 = Ph, A = CH:CH). II (R = CH2CO2H, R1 = CMe3, A = CH2O) showed IC50 of 0.055 μ M for inhibiting the U-46619 (stable analog of thromboxane A2)-induced aggregation of guinea pig's platelet rich plasma. II (R = CH2CO2H, R1 = cyclobutyl, A = CH2O) at 10 mg/kg p.o. in vivo inhibited by 72% the U-46619-induced respiratory tract resistance in guinea pigs.

ACCESSION NUMBER: 1993:427840 CAPLUS
 DOCUMENT NUMBER: 119:27840
 TITLE: Preparation of phenoxyacetic acids and TXA2 antagonists containing them
 INVENTOR(S): Maeda, Sachiko; Igarashi, Azuma; Sugizaki, Katsuyoshi; Suzuki, Myoshi; Ozawa, Shinji
 PATENT ASSIGNEE(S): Terumo Corp, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

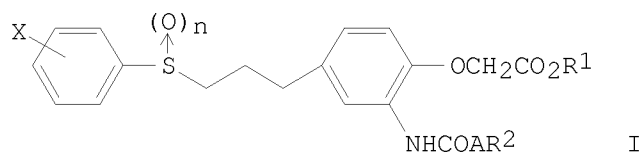
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| JP 05032613 | A | 19930209 | JP 1991-188730 | 19910729 |
| PRIORITY APPLN. INFO.: | | | JP 1991-188730 | 19910729 |
| OTHER SOURCE(S): MARPAT 119:27840 | | | | |
| IT 148066-76-6P 148066-77-7P | | | | |
| RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as TXA2 antagonist) | | | | |
| RN 148066-76-6 CAPLUS | | | | |
| CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(2-pyridinylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME) | | | | |



RN 148066-77-7 CAPLUS
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[3-(2-pyridinylmethoxy)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



GI

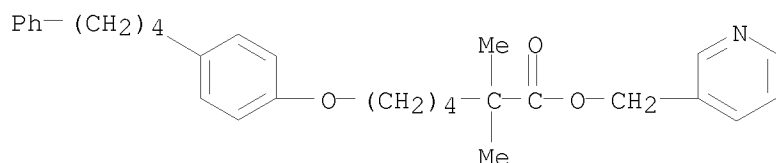


AB The title compds. I (A = Me, Ph, 2-pyridyl; R1 = H, Me, Et; R2 = H, phenyl-, pyridyl-, naphthyl-lower-alkoxy; X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; n = 0-2) or their physiol. acceptable salts, useful as therapeutic and prophylactic antiallergy agents and antithrombotics, are prepared Treatment of 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-benzoylaminophenol (preparation given) with Et bromoacetate and K2CO3 in acetone at room temperature for 5 h gave 94% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetate, which was hydrolyzed with 2N NaOH in THF at 0° for 2.5 h to afford 95% 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetic acid. The product inhibited U-46619-induced smooth muscle contraction with IC50 of 5.7 ± 10^{-9} M. LD50 of several phenoxyacetates was >300 mg/kg p.o. in male mice.

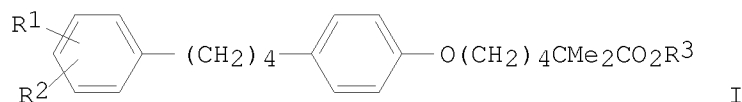
ACCESSION NUMBER: 1988:590030 CAPLUS
 DOCUMENT NUMBER: 109:190030
 TITLE: Phenoxypropionic acid derivatives for treatment of hyperlipemia and geriatric disorders
 INVENTOR(S): Kawakami, Mari; Yoneda, Seiji; Morishita, Shinichi; Saito, Takashi
 PATENT ASSIGNEE(S): Kyushin Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 63104939 | A | 19880510 | JP 1986-247510 | 19861020 |
| JP 05088693 | B | 19931224 | | |

PRIORITY APPLN. INFO.: JP 1986-247510 19861020
 OTHER SOURCE(S): CASREACT 109:190030; MARPAT 109:190030
 IT 113795-23-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antihyperlipemic and geriatric disease agent)
 RN 113795-23-6 CAPLUS
 CN Hexanoic acid, 2,2-dimethyl-6-[4-(4-phenylbutyl)phenoxy]-, 3-pyridinylmethyl ester (CA INDEX NAME)



GI



I

AB Title derivs. I (R1, R2 = H, Me; R3 = H, Me, Et, 3-pyridinylmethyl, 2-methyl-5-piperazinylmethyl) are prepared 4-(4-Phenylbutyl)phenol was stirred in a suspension of THF containing NaH, then 1-bromo-4-chlorobutane was added and the mixture was refluxed for 10 h to give 84% 4-[4-(4-phenylbutyl)phenoxy]butyl chloride, which was treated with lithiated Na isobutyrate at room temperature for 4 h to give 76% I (R1 = R2 = R3 = H) (II). Rats were orally fed for 2 wk with a high-fat diet containing cholesterol 1, bile acid 1, and cottonseed oil 6% and 100 mg/kg-day II was administered orally to show total cholesterol, high-d. lipoprotein cholesterol in blood, and liver weight of the rats to be (138.4 ± 8.0) mg/dL, (51.2 ± 2.3) mg/dL, and (51.4 ± 2.0) mg/g-body weight, resp., vs., 325.6 ± 48.3, 43.8 ± 4.5, and 57.2 ± 2.1, resp., for a control, 238.9 ±

15.5, 56.7 ± 5.0 , 59.6 ± 1.8 , resp., for gemfibrozil, and 176.8 ± 15.5 , 40.6 ± 5.1 , and 57.8 ± 2.0 , resp., for clofibrate.

L9 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:221371 CAPLUS

DOCUMENT NUMBER: 108:221371

TITLE: Synthesis and hypolipidemic activity of 2-substituted isobutyric acid derivatives

AUTHOR(S): Morishita, Shinichi; Saito, Takashi; Hirai, Yasuharu; Shoji, Masamichi; Mishima, Yasuhiro; Kawakami, Masato

CORPORATE SOURCE: Res. Lab., Kyushin Pharm. Co., Ltd., Tokyo, 166, Japan

SOURCE: Journal of Medicinal Chemistry (1988), 31(6), 1205-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:221371

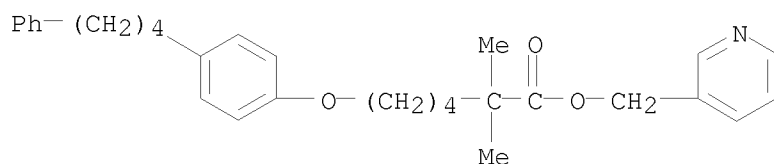
IT 113795-23-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

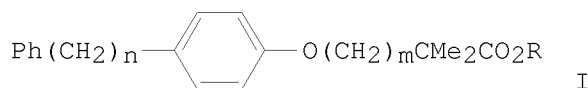
(preparation and hypolipidemic activity of)

RN 113795-23-6 CAPLUS

CN Hexanoic acid, 2,2-dimethyl-6-[4-(4-phenylbutyl)phenoxy]-, 3-pyridinylmethyl ester (CA INDEX NAME)



GI



AB A series of 2-substituted isobutyric acid derivs., e.g. I (n = 0-6, m = 3-10, R = H; n = m = 4, R = 3-pyridylmethyl, 3-methyl-5-pyrazinylmethyl), have been synthesized and evaluated as hypolipidemic agents. I (n = m = 4, R = H, 3-pyridylmethyl) were found to decrease the level of plasma total cholesterol in exptl. hyperlipemic rats to a greater extent than clofibrate (CF) and to increase the level of plasma high-d. lipoprotein cholesterol to the same extent as gemfibrozil (GF). Increases in liver weight caused by these compds. were less than those with CF and GF.

ACCESSION NUMBER: 1979:22564 CAPLUS
 Correction of: 1978:475314
 DOCUMENT NUMBER: 90:22564
 Correction of: 89:75314
 ORIGINAL REFERENCE NO.: 90:3715a,3718a
 TITLE: Substituted 2-propanol derivatives and their nicotinic acid esters
 INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan
 PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 41 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

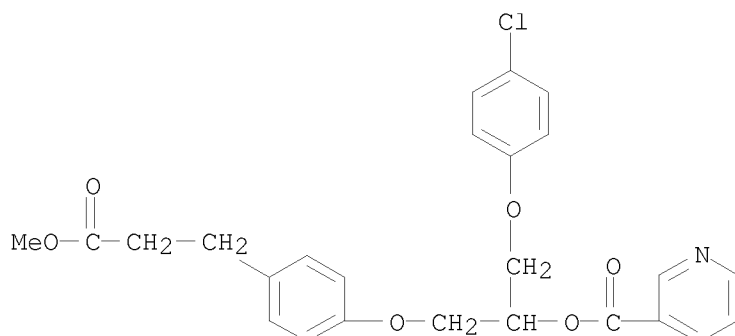
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| DE 2460689 | A1 | 19760701 | DE 1974-2460689 | 19741220 |
| DE 2460689 | B2 | 19791018 | | |
| DE 2460689 | C3 | 19800626 | | |
| CA 1065870 | A1 | 19791106 | CA 1975-241890 | 19751211 |
| DD 123597 | A5 | 19770105 | DD 1975-190187 | 19751216 |
| CH 622487 | A5 | 19810415 | CH 1975-16303 | 19751216 |
| DK 7505732 | A | 19760621 | DK 1975-5732 | 19751217 |
| SE 7514271 | A | 19760621 | SE 1975-14271 | 19751217 |
| NL 7514696 | A | 19760622 | NL 1975-14696 | 19751217 |
| NL 171356 | B | 19821018 | | |
| NL 171356 | C | 19830316 | | |
| FR 2294691 | A1 | 19760716 | FR 1975-38741 | 19751217 |
| FR 2294691 | B1 | 19780728 | | |
| AU 7587623 | A | 19770623 | AU 1975-87623 | 19751217 |
| ZA 7507912 | A | 19761229 | ZA 1975-7912 | 19751218 |
| US 4073935 | A | 19780214 | US 1975-641982 | 19751218 |
| AT 7509643 | A | 19790315 | AT 1975-9643 | 19751218 |
| AT 352699 | B | 19791010 | | |
| BE 836870 | A1 | 19760416 | BE 1975-162937 | 19751219 |
| GB 1516747 | A | 19780705 | GB 1975-52228 | 19751219 |
| HU 173345 | B | 19790428 | HU 1975-KI732 | 19751219 |
| JP 51125238 | A | 19761101 | JP 1975-152705 | 19751220 |
| PL 97422 | B1 | 19780228 | PL 1975-185748 | 19751220 |
| JP 57005770 | B | 19820201 | JP 1976-3979 | 19760116 |
| GB 1531695 | A | 19781108 | GB 1977-24008 | 19770608 |
| GB 1533820 | A | 19781129 | GB 1977-24010 | 19770608 |
| US 4109013 | A | 19780822 | US 1977-849766 | 19771109 |
| US 4144351 | A | 19790313 | US 1977-849765 | 19771109 |
| AT 7802641 | A | 19790315 | AT 1978-2641 | 19780414 |
| PRIORITY APPLN. INFO.: | | | DE 1974-2460689 | A 19741220 |
| | | | AT 1975-9643 | A 19751218 |
| | | | US 1975-641982 | A3 19751218 |
| | | | GB 1975-52228 | A 19751219 |
| | | | DE 1976-2625688 | A 19760608 |
| | | | DE 1976-2625689 | A 19760608 |

OTHER SOURCE(S): MARPAT 90:22564

IT 60377-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
 RN 60377-85-7 CAPLUS
 CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)

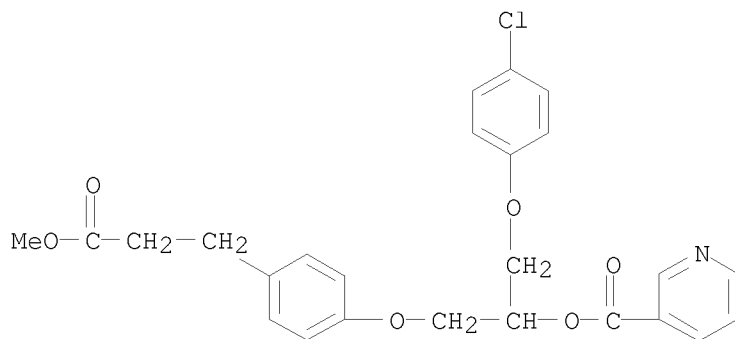


AB 4-RC₆H₄ZCH₂CH(OH)CH₂Z₁C₆H₄R₁ (I; R = Cl, CMe₃; R₁ = CO₂Me, CH:CHCO₂Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z₁ = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC₆H₄CO₂Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, R₁ = CO₂Me, Z = Z₁ = O) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed 63.8 ± 17.2% serum triglyceride lowering in the rat.

ACCESSION NUMBER: 1978:475314 CAPLUS
Correction of: 1976:523579
DOCUMENT NUMBER: 89:75314
Correction of: 85:123579

ORIGINAL REFERENCE NO.: 89:11571a,11574a
TITLE: Substituted 2-propanol derivatives and their nicotinic acid esters
INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan
PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| IT | DE 2460689 | | 19760701 | DE 1974-2460689 | 19741220 |
| | 60377-85-7P | | | | |
| | RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) | | | | |
| | (preparation and hypolipemic activity of) | | | | |
| RN | 60377-85-7 CAPLUS | | | | |
| CN | 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME) | | | | |

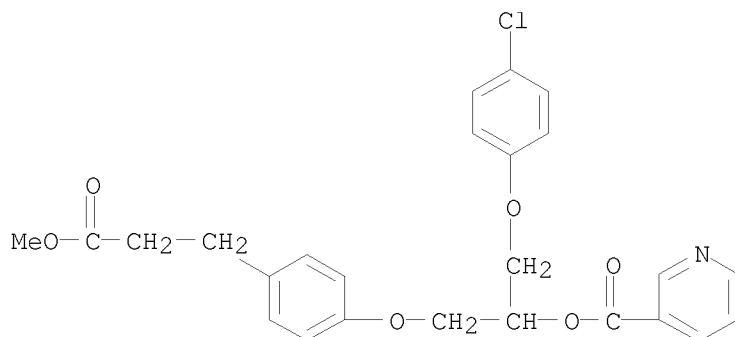


AB 4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, Me3C; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, R1 = 4-CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed $63.8 \pm 7.2\%$ serum triglyceride lowering in the rat.

L9 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1976:523579 CAPLUS
DOCUMENT NUMBER: 85:123579
ORIGINAL REFERENCE NO.: 85:19829a,19832a
TITLE: Substituted 2-propanol derivatives and their nicotinic acid esters
INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan
PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| | DE 2560689 | | 19760701 | DE 1974-2460689 | 19741220 |
| IT | 60377-85-7P | | | | |
| | RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) | | | | |
| RN | 60377-85-7 CAPLUS | | | | |
| CN | 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME) | | | | |



AB 4-RC₆H₄ZCH₂CH(OH)CH₂Z₁C₆H₄R₁ (I; R = Cl, Me₃C; R₁ = CO₂Me, CH:CHCO₂Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z₁ = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC₆H₄CO₂Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 hr to give 74.4% I (R = Cl, R₁ = CO₂Me, Z = Z₁ = O) (II). About 120 I were prepared having hypolipemic activity, e.g., I showed 63.8 ± 17.2% serum triglyceride lowering in the rat.

=>

---Logging off of STN---

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Executing the logoff script...

=> LOG Y

| | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 85.57 | 276.88 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -10.40 | -10.40 |

STN INTERNATIONAL LOGOFF AT 12:41:37 ON 26 FEB 2008